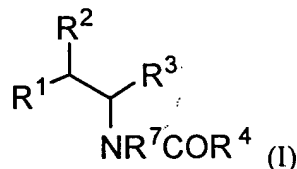
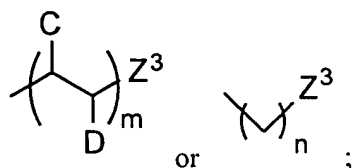


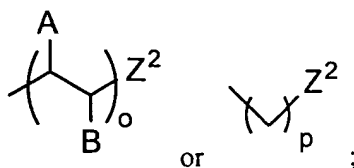
1. A compound of the formula



$R^1$  is a group of formula



R<sup>3</sup> is hydrogen, optionally substituted alkyl, Z<sup>1</sup>-alkyl, or a group of formula



20

R<sup>6</sup> is hydrogen, lower alkyl, Z<sup>2</sup>-(lower alkyl), lower acyl, aroyl or heteroaroyl;

25  $R^7$  is hydrogen or lower alkyl;

A and B are hydrogen or taken together are a bond;

C and D are hydrogen or taken together are a bond;

5

$Z^1$  is  $R^6O-$  or  $R^6S-$  or  $Y^1Y^2N-$ ;

$Z^2$  is optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted cycloalkenyl, optionally substituted heterocyclyl, and optionally substituted heterocyclenyl;

10

$Z^3$  is substituted aryl, substituted cycloalkyl, substituted cycloalkenyl, optionally substituted heteroaryl, optionally substituted heterocyclyl, optionally substituted heterocyclenyl, substituted fused arylcycloalkyl, substituted fused arylcycloalkenyl, optionally substituted fused heteroaryl cycloalkyl, optionally substituted fused heteroaryl cycloalkenyl, optionally substituted fused heteroaryl heterocyclyl, optionally substituted fused heteroaryl heterocyclenyl, wherein at least one of the ring system substituents contains at least one basic nitrogen atom, or at least one nitrogen atom is incorporated in the ring system of the heteroaryl, heterocyclyl or heterocyclenyl moiety;

15

20  $Y^1$  and  $Y^2$  are independently hydrogen, alkyl, aryl, aralkyl, acyl or aroyl; and

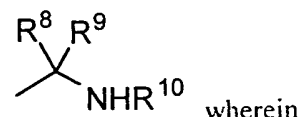
m and o are independently 1 or 2;

n and p are independently 0, 1 or 3; or

25

a pharmaceutically acceptable salt thereof, an N-oxide thereof, a solvate thereof, an acid bioisostere thereof, or prodrug thereof,

provided that  $Z^3$  is other than phenyl when substituted by a moiety of the formula

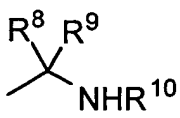


wherein

30

$R^8$  and  $R^9$  are hydrogen or together are  $=NR^{11}$ , wherein  $R^{10}$  and  $R^{11}$  are hydrogen.

2. The compound according to claim 1 provided that

$Z^3$  is other than phenyl when substituted by a moiety of the formula  wherein  $R^8$  and  $R^9$  together are  $=NR^{11}$ , wherein  $R^{10}$  and  $R^{11}$  are independently optionally substituted lower alkyl.

5

3. The compound according to claim 1 wherein

$R^8$  and  $R^9$  together are  $=NR^{11}$ ;  $R^{10}$  and  $R^{11}$  are independently hydrogen,  $HO-$ , or  $R^{12}O_2C-$ .

4. The compound according to claim 1 wherein

10  $R^2$  is hydrogen,  $-CO_2R^5$ ,  $-CH_2OR^6$  or  $-CH_2SR^6$ .

5. The compound according to claim 1 wherein

$R^2$  is hydrogen,  $-CO_2R^5$  or  $-CH_2OR^6$ .

- 15 6. The compound according to claim 1 wherein

$R^2$  is  $-CO_2R^5$  and  $R^5$  is lower alkyl.

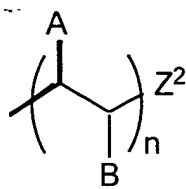
7. The compound according to claim 1 wherein

$R^2$  is  $-CH_2OR^6$  or  $-CH_2SR^6$  and  $R^6$  is hydrogen or lower alkyl.

20

8. The compound according to claim 1 wherein

$R^3$  is lower alkyl,  $R^6O(\text{lower alkyl})-$ , or a group of formula



where A and B are hydrogen and n is 1.

25

9. The compound according to claim 1 wherein

$R^4$  is optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted aralkyl or optionally substituted aralkynyl.

10. The compound according to claim 1 wherein  
R<sup>4</sup> is optionally substituted phenyl, optionally substituted naphthyl, or optionally substituted heteroaryl.

5 11. The compound according to claim 1 wherein  
R<sup>4</sup> as optionally substituted phenyl or optionally substituted heteroaryl is optionally substituted (phenyl substituted phenyl), optionally substituted (heteroaryl substituted phenyl), optionally substituted (phenyl substituted heteroaryl) or optionally substituted (heteroaryl substituted heteroaryl).

10 12. The compound according to claim 1 wherein  
R<sup>5</sup> is lower alkyl.

13. The compound according to claim 1 wherein  
R<sup>6</sup> is hydrogen or lower alkyl.

15 14. The compound according to claim 1 wherein  
R<sup>7</sup> is hydrogen.

15. The compound according to claim 1 wherein  
20 R<sup>8</sup> and R<sup>9</sup> are hydrogen.

16. The compound according to claim 1 wherein  
R<sup>12</sup> is lower alkyl.

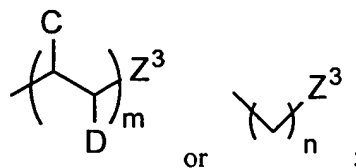
25 17. The compound according to claim 1 wherein  
n is 1.

18. The compound according to claim 1 wherein Z<sup>3</sup> is substituted by, at least, an amidino group in the meta or para position of the ring system of Z<sup>3</sup>, relative to the position of attachment of Z<sup>3</sup> to the rest of the  
30 molecule.

19. The compound according to claim 1 wherein  
Z<sup>1</sup> is optionally substituted aryl.

20. The compound according to claim 1 wherein  
Z<sup>1</sup> is phenyl.

5 21. The compound according to claim 1 wherein R<sup>1</sup> is a group of formula



m and n are 1;

C and D are hydrogen; and

10 Z<sup>3</sup> is optionally substituted azaheteroaryl, optionally substituted azaheterocyclyl, optionally substituted azaheterocyclenyl, optionally substituted fused arylazaheteroaryl, optionally substituted fused azaheteroarylaryl, optionally substituted fused azaheteroarylcycloalkyl, optionally substituted fused azaheteroarylcycloalkenyl, optionally substituted fused azaheteroarylheterocyclyl, optionally substituted fused azaheteroarylheterocyclenyl, optionally substituted fused azaheteroarylazaheterocyclyl, optionally substituted fused azaheteroarylazaheterocyclenyl group.

22. The compound according to claim 1 wherein

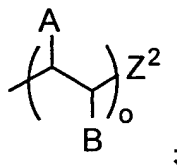
R<sup>8</sup> and R<sup>9</sup> together are =NR<sup>11</sup>;

R<sup>11</sup> is hydrogen;

20 R<sup>10</sup> are hydrogen;

R<sup>2</sup> is hydrogen, -CO<sub>2</sub>R<sup>5</sup>, -C(O)R<sup>5</sup>, -CH<sub>2</sub>OR<sup>6</sup> or -CH<sub>2</sub>SR<sup>6</sup>;

R<sup>3</sup> is hydrogen, alkyl or Z<sup>1</sup>-alkyl, or a group of formula



25 R<sup>4</sup> is optionally substituted cycloalkyl, optionally substituted cycloalkenyl, optionally substituted heteroaryl, optionally substituted fused arylcycloalkyl, optionally substituted fused arylcycloalkenyl, optionally substituted fused arylheteroaryl, optionally substituted fused heteroarylaryl, optionally substituted fused heteroarylcycloalkyl, optionally substituted fused

heteroarylcyloalkenyl, optionally substituted fused heteroarylheterocyclyl, optionally substituted fused heteroarylheterocyclenyl;

$R^6$  is hydrogen or lower alkyl;

A, B, C and D,  $R^7$  are hydrogen;

5  $R^8$  and  $R^9$  together are  $=NR^{11}$ ;

$R^{11}$  is hydrogen;

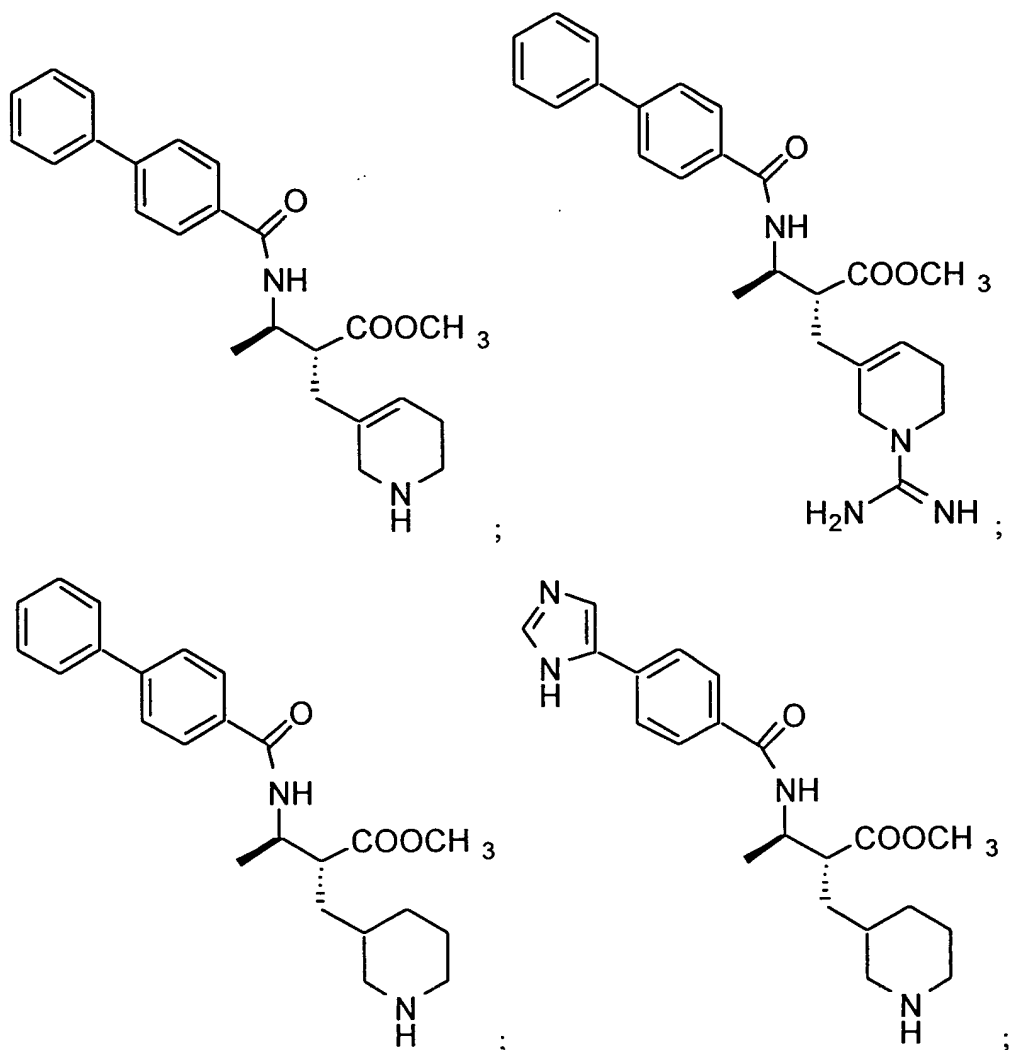
Q is  $R^6O-$ ;

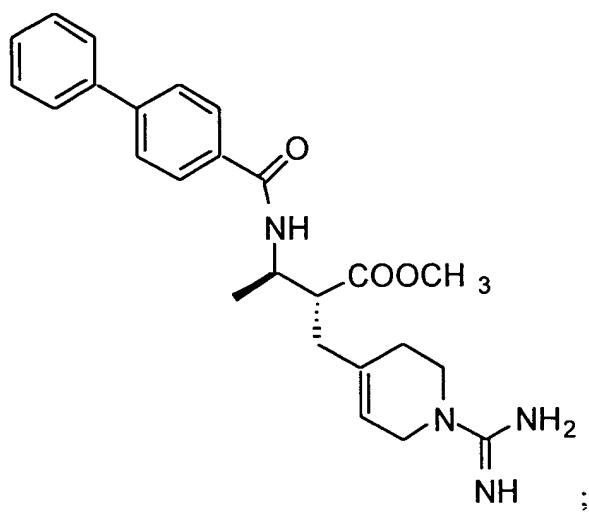
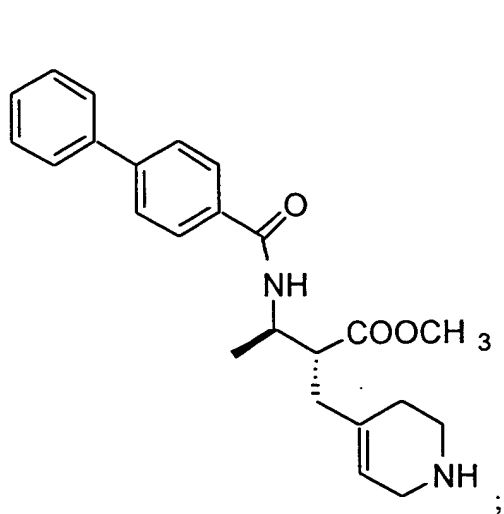
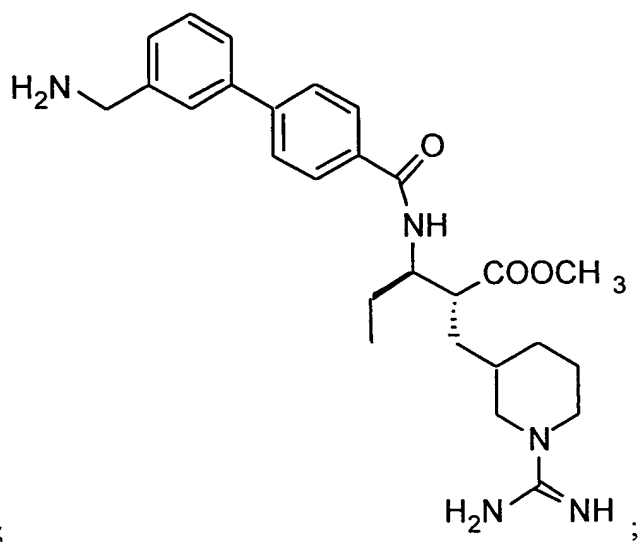
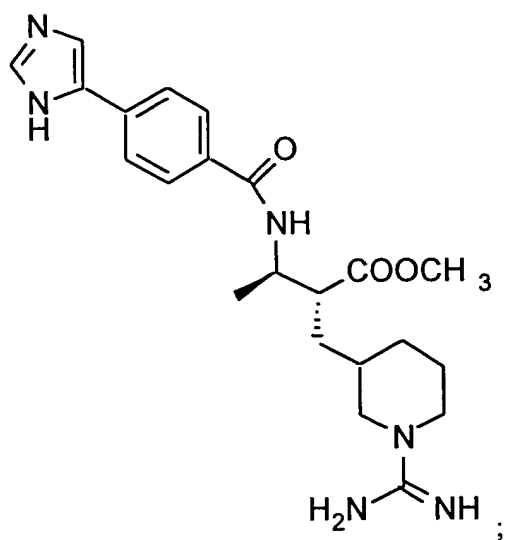
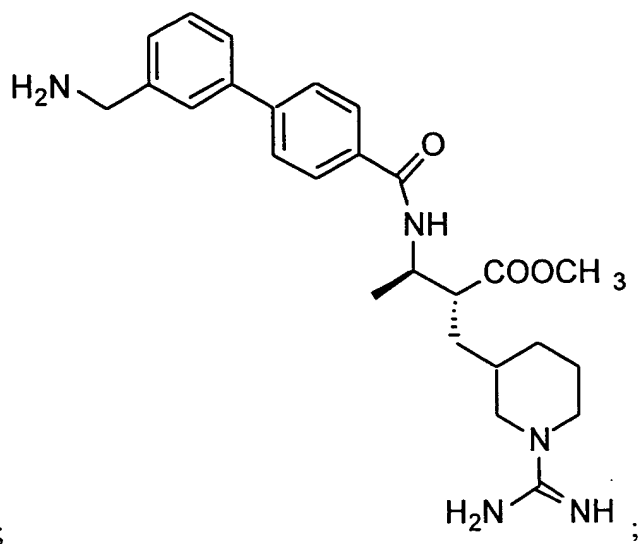
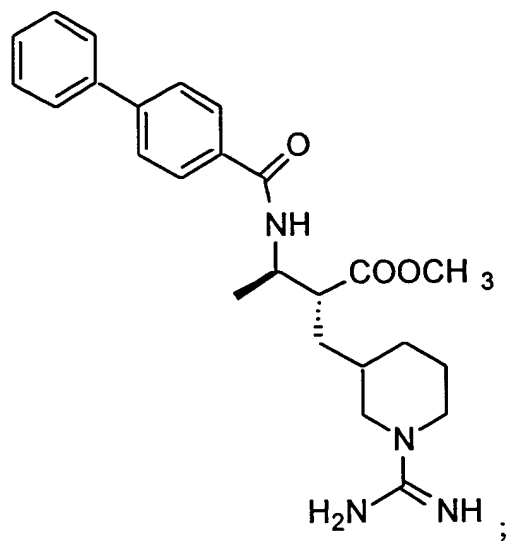
o and m are 1;

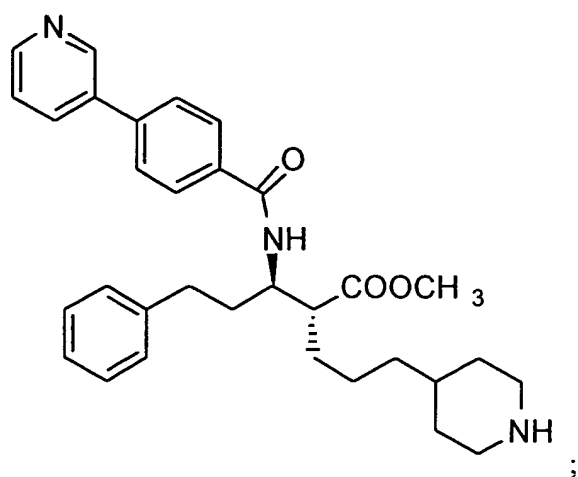
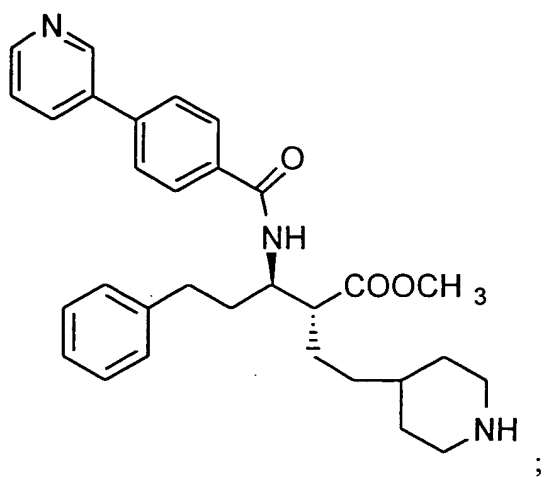
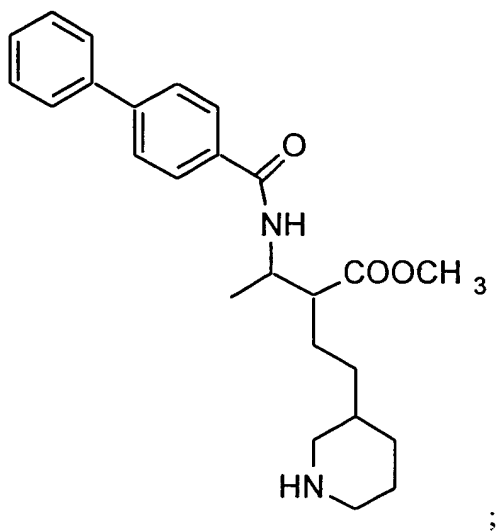
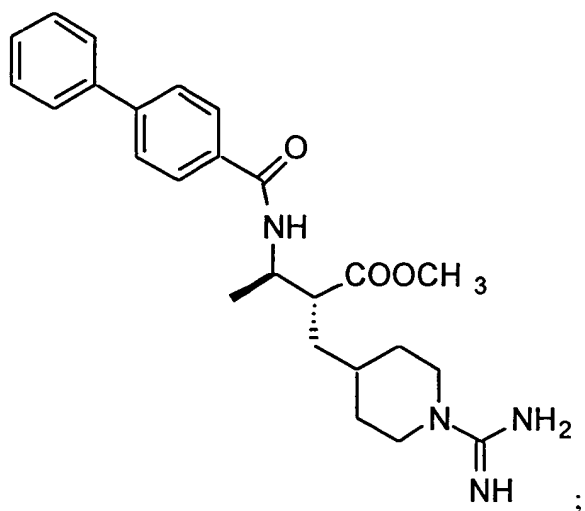
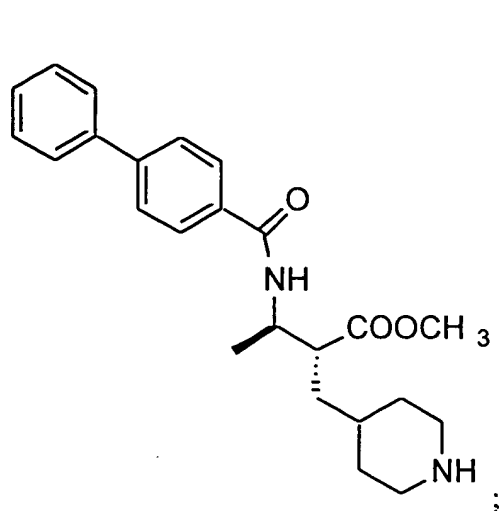
n is 1 or 3; or

10 a pharmaceutically acceptable salt thereof, an N-oxide thereof or prodrug thereof.

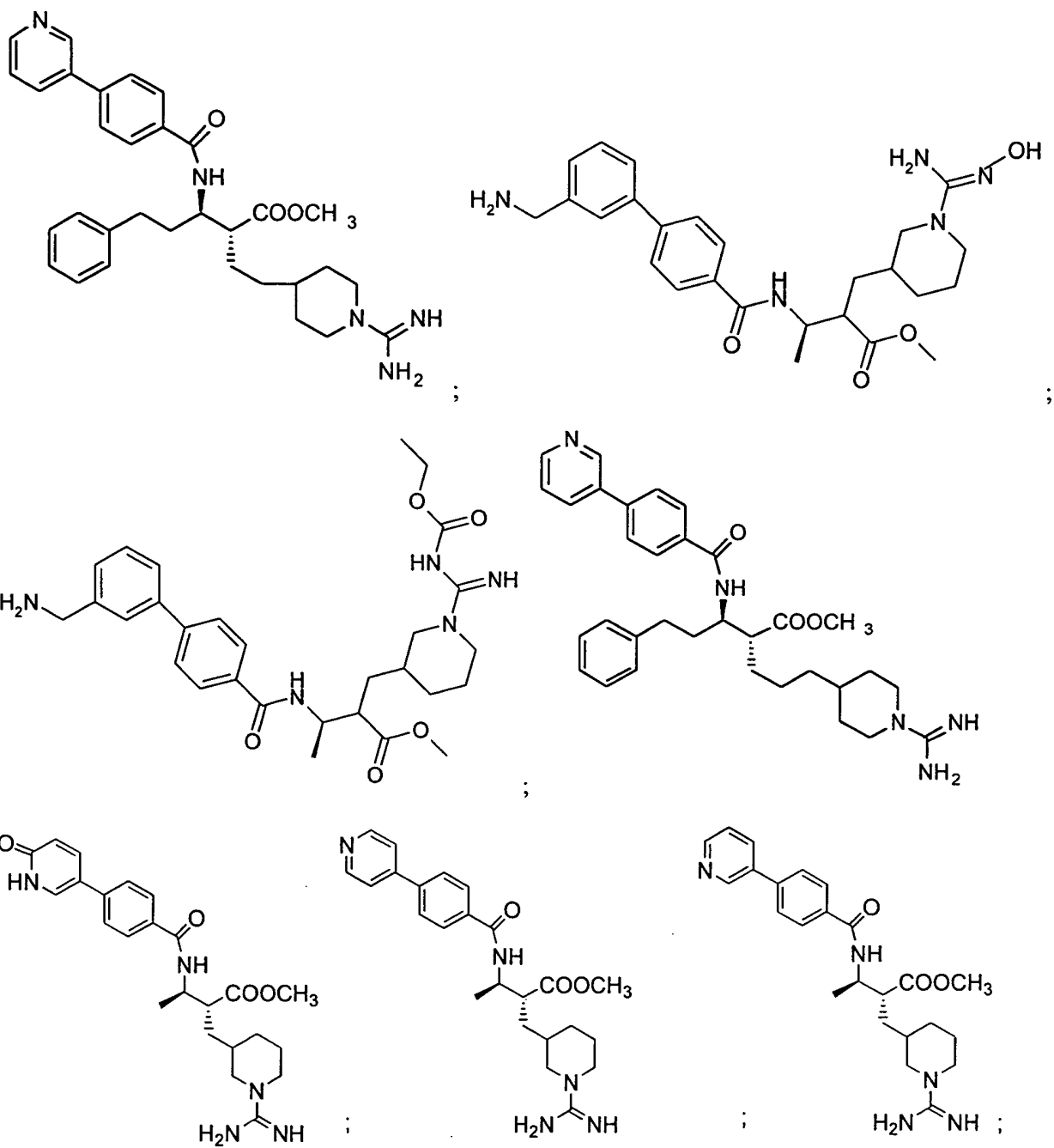
23. A compound according to claim 1 which is:

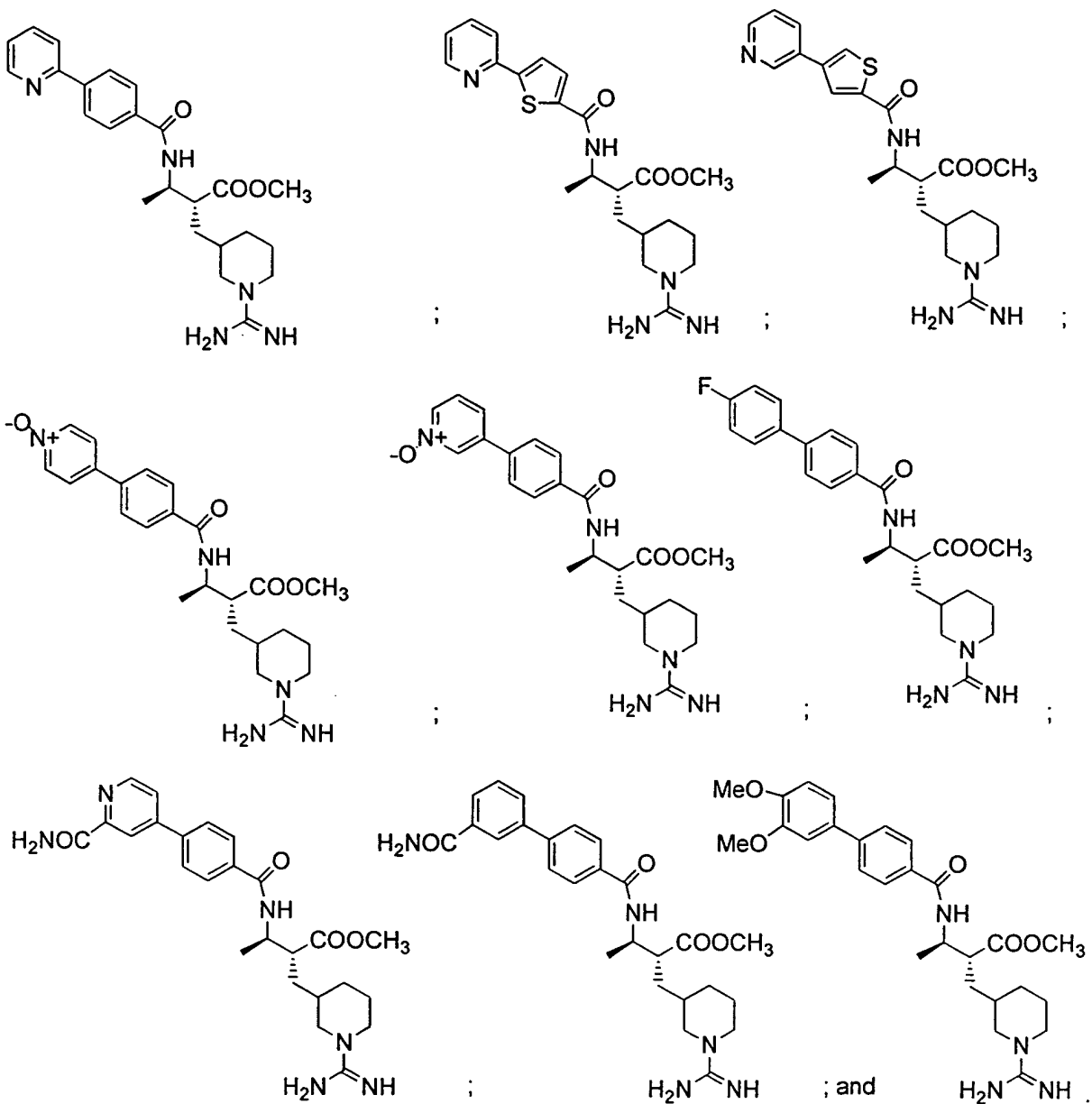




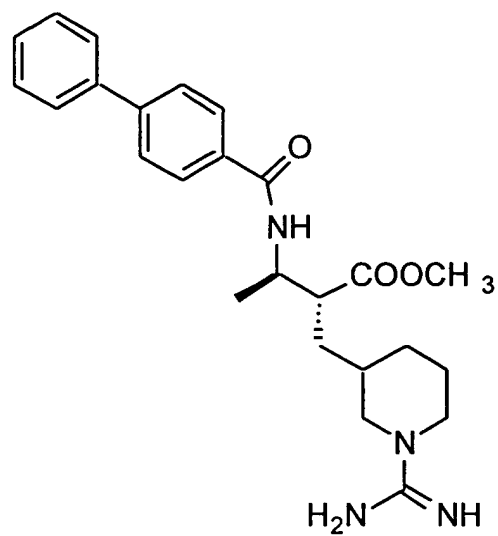




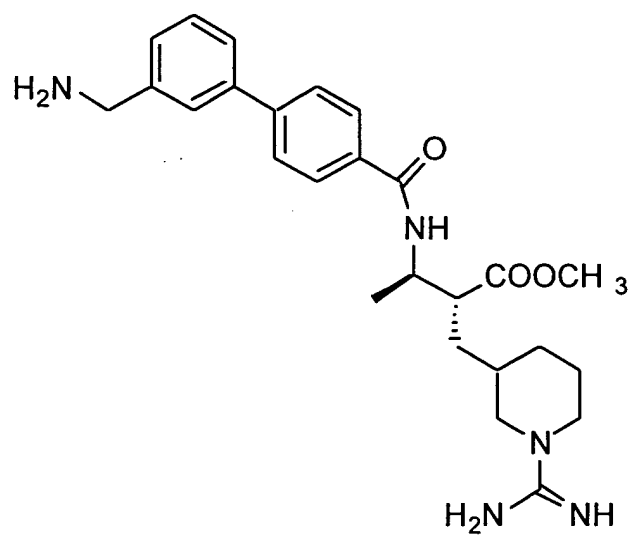




5 24. A compound according to claim 1 which is:

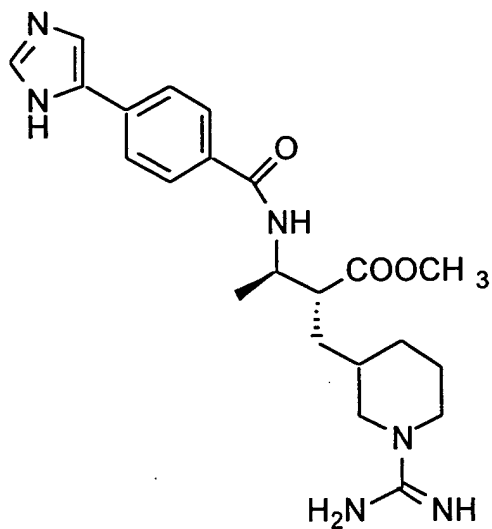


25. A compound according to claim 1 which is:

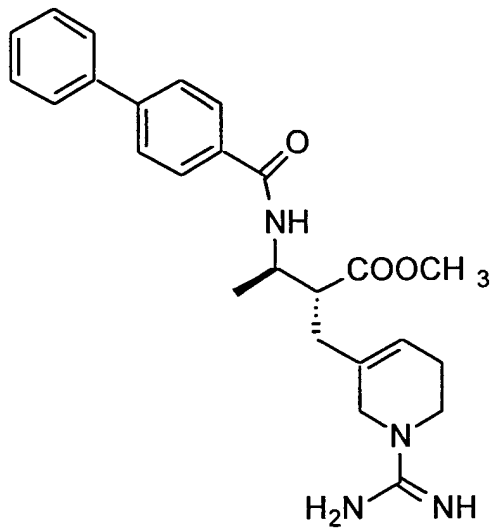


5

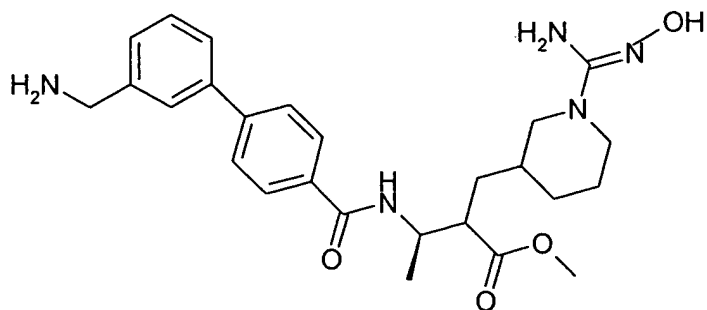
26. A compound according to claim 1 which is:



27. A compound according to claim 1 which is:



28. A compound according to claim 1 which is:



29. A pharmaceutical composition comprising a pharmaceutically acceptable amount of the compound according to claim 1 and a pharmaceutically acceptable carrier.

30. A method for inhibiting the activity of Factor Xa, comprising a pharmaceutically effective amount of the compound of formula I with a composition containing Factor Xa.

5 31. A method for inhibiting the formation of thrombin comprising combining a pharmaceutically effective amount of the compound of formula I with a composition containing Factor Xa.

32. A method for treating a patient suffering from, or subject to, a disease state associated with a physiologically detrimental excess of Factor Xa activity comprising administering to said patient a pharmaceutically effective amount of the compound according to claim 1.

10

33. A method for treating a patient suffering from, or subject to, a disease state associated with a physiologically detrimental excess amount of thrombin, comprising administering to said patient a pharmaceutically effective amount of the compound according to claim 1.